ABSTRACT

Methods of modulating the function of G-protein coupled receptors (GPCRs) using peptide antagonists of the GPCR are provided. The peptide antagonists are derived from the sequence of a juxtamembrane extracellular structural element of the target GPCR and selectively modulate the function of the receptor from which they are derived. The GPCR peptide antagonists include peptides comprising naturally occurring amino acids as well as peptide analogues, peptide derivatives, peptidomimetics and peptide variants. Methods of selecting the peptide antagonists are also provided. The peptides have therapeutic application in the treatment, amelioration or prophylaxis of diseases or conditions associated with changes in GPCR activity.